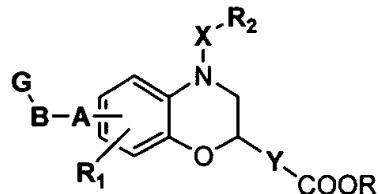


II. AMENDMENTS TO THE CLAIMS

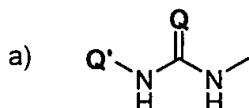
Claim 1 (Currently Amended) A compound of the formula (I)



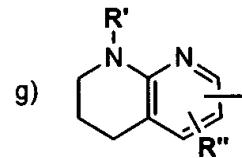
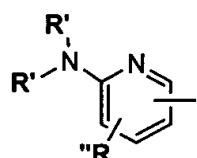
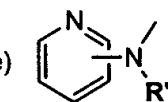
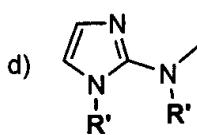
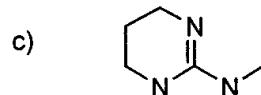
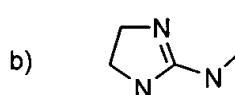
(I)

or a pharmaceutically acceptable salt or ester thereof, wherein:

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



wherein R' and R'' are independently H or C₁-C₄-alkyl;

B is C₁-C₄ alkyl or C₂-C₄ alkenyl;

A is selected from the group consisting of CH₂, O, S(O)_p wherein p is zero, 1 or 2, NH, a group CON(R'') or N(R'')CO wherein R'' is hydrogen or CH₃;

R₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, and CF₃;

X is (C=O)_m wherein m is 0 or 1;

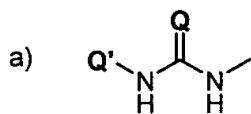
R₂ is selected from the group consisting of H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, C₁-C₄-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three

substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; and C₅-C₇ monocyclic heteroaryl ring containing having one to three heteroatoms selected from O, S, and N, unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy;

Y is (CH₂)_n wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, C₁-C₆ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, aryl or aryl-C₁-C₄ alkyl.

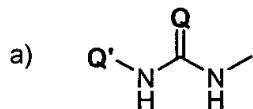
With the proviso that m can not be 0 when G is :



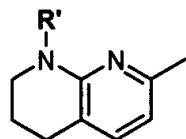
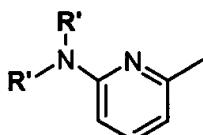
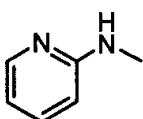
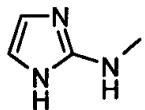
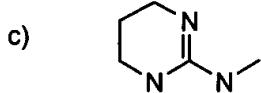
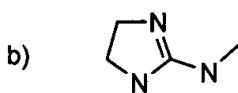
wherein Q' is H and Q is O and X is (C=O)_m.

B1 Claim 2 (Original) A compound according to claim 1, wherein

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



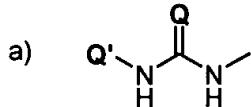
wherein R' is independently H or C₁-C₄-alkyl;

B is (CH₂)_q wherein q is 2, 3 or 4;

R₂ is a phenyl by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; or pyridine ring

unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy.

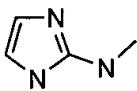
With the proviso that m can not be 0 when G is :



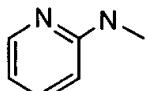
wherein Q' is H and Q is O and X is (C=O)_m.

Claim 3 (Original) A compound according to claim 1, wherein

G is selected from the group consisting of



OR



B is (CH₂)_q wherein q is 2, 3 or 4;

R₂ is a phenyl by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy.

Claim 4 (Original) The compound as recited in claim 1 wherein the compound is selected from the group consisting of

(4-phenyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-

benzoxazin-2-yl)acetic acid;
(4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-phenyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
B1
(4-methyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

131
(4-benzyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

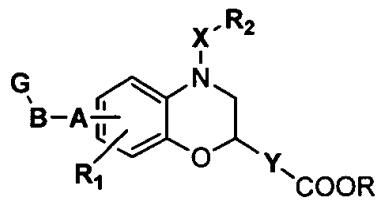
(4-benzoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-

benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
β
(4-nicotinoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
[4-phenyl-6-{[2-(2-pyridinylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[3-(2-pyridinylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[4-(2-pyridinylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[2-(1H-imidazol-2-ylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

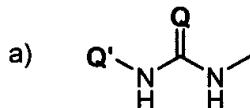
Claim 5 (Currently amended) A pharmaceutical composition comprising a therapeutically effective amount of the compound of the formula (I):



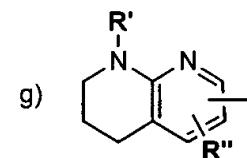
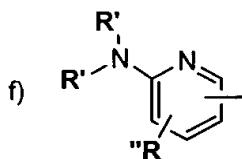
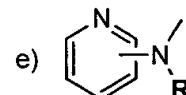
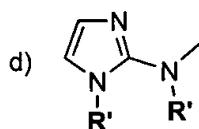
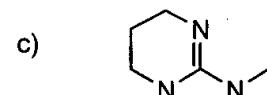
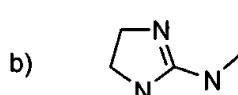
(I)

or a pharmaceutically acceptable salt or ester thereof, wherein:

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



wherein R' and R'' are independently H or C₁-C₄-alkyl;

B is C₁-C₄ alkyl or C₂-C₄ alkenyl;

A is selected from the group consisting of CH₂, O, S(O)_p wherein p is zero, 1 or 2, NH, a group CON(R'') or N(R'')CO wherein R'' is hydrogen or CH₃;

R₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, and CF₃;

X is (C=O)_m wherein m is 0 or 1 ;

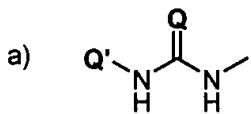
R₂ is selected from the group consisting of H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, C₁-C₄-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; and C₅-C₇ monocyclic heteroaryl ring containing having one to three heteroatoms selected from O, S, and N, unsubstituted or optionally

substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy;

Y is $(\text{CH}_2)_n$ wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_2\text{-C}_4$ alkenyl, $\text{C}_2\text{-C}_4$ alkynyl, aryl or aryl- $\text{C}_1\text{-C}_4$ alkyl.

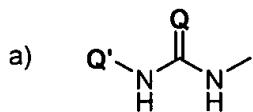
With the proviso that m can not be 0 when G is :



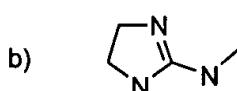
wherein Q' is H and Q is O and X is $(\text{C}=\text{O})_m$.

Claim 6 (Original) A pharmaceutical composition of claim 5 wherein :

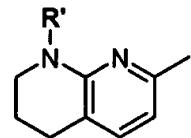
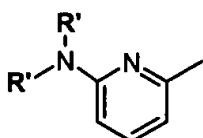
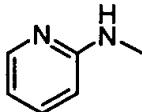
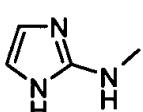
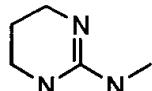
G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, $\text{C}_1\text{-C}_6$ alkyl, phenyl, and phenyl- $\text{C}_1\text{-C}_4$ -alkyl;



c)

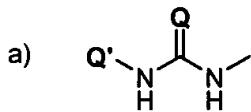


wherein R' is independently H or $\text{C}_1\text{-C}_4$ -alkyl;

B is $(\text{CH}_2)_q$ wherein q is 2, 3 or 4;

R_2 is a phenyl by one to three substituents independently selected from halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-C}_4$ alkyl, hydroxy and $\text{C}_1\text{-C}_4$ alkoxy.

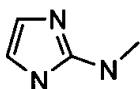
With the proviso that m can not be 0 when G is :



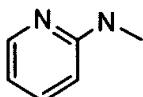
wherein Q' is H and Q is O and X is $(C=O)_m$.

Claim 7 (Original) A pharmaceutical composition of claim 5 wherein :

G is selected from the group consisting of



OR



B is $(CH_2)_q$ wherein q is 2, 3 or 4;

R_2 is a phenyl by one to three substituents independently selected from halogen, CF_3 , C_1-C_4 alkyl, hydroxy and C_1-C_4 alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , C_1-C_4 alkyl, hydroxy and C_1-C_4 alkoxy.

Claim 8 (Original) A pharmaceutical composition comprising a therapeutically effective amount of a compound or a pharmaceutically acceptable salt, prodrug or ester thereof as recited in claim 5 wherein the compound is selected from the group consisting of

(4-phenyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-methyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclopropylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-

1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
B1
(4-benzyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-benzoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-nicotinoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

β1

[4-phenyl-6-{[2-(2-pyridinylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{[3-(2-pyridinylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

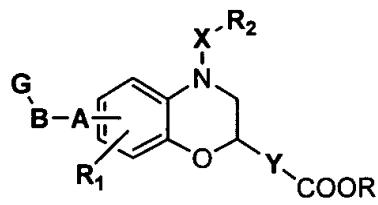
[4-phenyl-6-{[4-(2-pyridinylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{[2-(1H-imidazol-2-ylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;

[4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid.

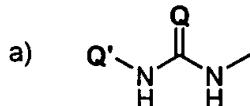
Claim 9 (Original) A method for treating a condition mediated by the $\alpha_v\beta_3$ integrin in a mammal in need of such treatment, including a human, comprising administering to said mammal an effective $\alpha_v\beta_3$ inhibiting amount of a compound of the formula (I)



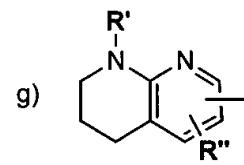
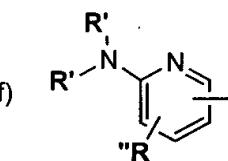
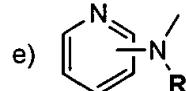
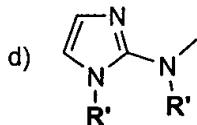
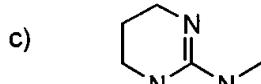
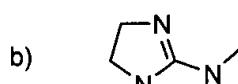
(I)

wherein:

G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, C₁-C₆ alkyl, phenyl, and phenyl-C₁-C₄-alkyl;



wherein R' and R'' are independently H or C₁-C₄-alkyl;

B is C₁-C₄ alkyl or C₂-C₄ alkenyl;

A is selected from the group consisting of CH₂, O, S(O)_p wherein p is zero, 1 or 2, NH, a group CON(R'') or N(R'')CO wherein R'' is hydrogen or CH₃;

R₁ is selected from the group consisting of H, C₁-C₄ alkyl, C₁-C₄ alkoxy, OH, halogen, and CF₃;

X is (C=O)_m wherein m is 0 or 1;

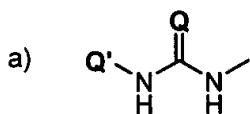
R₂ is selected from the group consisting of H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl, C₁-C₄-alkylcycloalkyl; aryl unsubstituted or optionally substituted by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; and C₅-C₇ monocyclic heteroaryl ring containing one to three heteroatoms selected from O, S, and N, unsubstituted or optionally

substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-}\text{C}_4$ alkyl, hydroxy and $\text{C}_1\text{-}\text{C}_4$ alkoxy;

Y is $(\text{CH}_2)_n$ wherein n is 1 or 2;

R is selected from the group consisting of hydrogen, $\text{C}_1\text{-}\text{C}_6$ alkyl, $\text{C}_2\text{-}\text{C}_4$ alkenyl, $\text{C}_2\text{-}\text{C}_4$ alkynyl, aryl or aryl- $\text{C}_1\text{-}\text{C}_4$ alkyl.

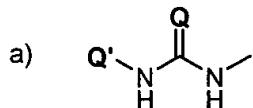
With the proviso that m can not be 0 when G is :



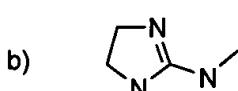
wherein Q' is H and Q is O and X is $(\text{C}=\text{O})_m$.

Claim 10 (Original) The method of claim 9 wherein :

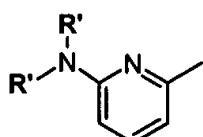
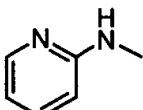
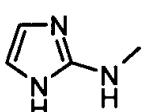
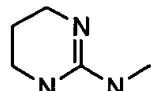
G is selected from the group consisting of



wherein Q is NH or O and Q' is selected from the group consisting of H, $\text{C}_1\text{-}\text{C}_6$ alkyl, phenyl, and phenyl- $\text{C}_1\text{-}\text{C}_4$ -alkyl;



c)

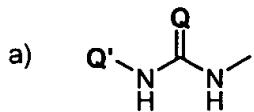


wherein R' is independently H or $\text{C}_1\text{-}\text{C}_4$ -alkyl;

B is $(\text{CH}_2)_q$ wherein q is 2, 3 or 4;

R_2 is a phenyl by one to three substituents independently selected from halogen, CF_3 , $\text{C}_1\text{-}\text{C}_4$ alkyl, hydroxy and $\text{C}_1\text{-}\text{C}_4$ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF_3 , $\text{C}_1\text{-}\text{C}_4$ alkyl, hydroxy and $\text{C}_1\text{-}\text{C}_4$ alkoxy.

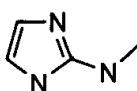
With the proviso that m can not be 0 when G is :



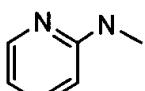
wherein Q' is H and Q is O and X is $(C=O)_m$.

Claim 11 (Original) The method of claim 9 wherein :

G is selected from the group consisting of



OR



B is $(CH_2)_q$ wherein q is 2, 3 or 4;

B1
R₂ is a phenyl by one to three substituents independently selected from halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy; aralkyl; or pyridine ring unsubstituted or optionally substituted by one to three substituents independently selected from the group consisting of halogen, CF₃, C₁-C₄ alkyl, hydroxy and C₁-C₄ alkoxy.

Claim 12 (Original) The method according to claim 9 wherein the compound is selected from the group consisting of

(4-phenyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-

benzoxazin-2-yl)acetic acid;
(4-phenyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-methyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclopropylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-cyclohexylmethyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-cyclohexylmethyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[3-(2-pyridinylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[4-(2-pyridinylamino)butanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[5-(2-pyridinylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl] amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;

(4-benzoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-

benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[3-(2-pyridinylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[4-(2-pyridinylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[5-(2-pyridinylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[3-(1H-imidazol-2-ylamino)propanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
(4-nicotinoyl-6-{[4-(1H-imidazol-2-ylamino)butanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
β1
(4-nicotinoyl-6-{[5-(1H-imidazol-2-ylamino)pentanoyl]amino}-3,4-dihydro-2H-1,4-benzoxazin-2-yl)acetic acid;
[4-phenyl-6-{[2-(2-pyridinylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[3-(2-pyridinylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[4-(2-pyridinylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[2-(1H-imidazol-2-ylamino)ethylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[3-(1H-imidazol-2-ylamino)propylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid;
[4-phenyl-6-{[4-(1H-imidazol-2-ylamino)butylamino]carbonyl}-3,4-dihydro-2H-1,4-benzoxazin-2-yl]acetic acid.

Claim 13 (Original) The method according to claim 9 wherein the condition treated is bone resorption, osteoporosis, humoral hypercalcemia of malignancy, Paget's disease, tumor metastasis, neoplasia (solid tumor growth), angiogenesis including tumor angiogenesis, diabetic retinopathy, arthritis, psoriasis and periodontal disease, or smooth muscle cell migration including restenosis.

Claim 14 (Original) The method according to claim 12 wherein the condition treated is bone resorption, osteoporosis, humoral hypercalcemia of malignancy, Paget's disease, tumor metastasis, neoplasia (solid tumor growth), angiogenesis including tumor angiogenesis, diabetic retinopathy, arthritis, psoriasis and periodontal disease, or smooth muscle cell migration including restenosis.

Claim 15 (Original) A combined method of treatment of cancer or of controlling the growth of a neoplasm in a mammal suffering from cancer, including a human, said method comprising administering simultaneous, separately or sequentially,

- 1) a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salts thereof; and
- 2) an additional antitumor agent; in amounts and close enough together in time sufficient to produce a therapeutically useful effect.

Claim 16 (Original) The method according to claim 15, wherein the additional antitumor agent is selected from the group consisting of an antineoplastic topoisomerase II inhibitor, an antineoplastic antimicrotubule agent, an antineoplastic alkylating agent, an antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.

Claim 17 (Original) A product containing a compound of formula (I) as defined in claim 1 or a pharmaceutically acceptable salt thereof, and an effective antineoplastic amount of additional antitumor agent as a combined preparation for simultaneous, separate or sequential use in anti-cancer therapy.

Claim 18 (Original) The product according to claim 17, wherein the additional antitumor agent is selected from an antineoplastic topoisomerase II inhibitor, an antineoplastic antimicrotubule agent, an antineoplastic alkylating agent, an antineoplastic antimetabolite and an antineoplastic topoisomerase I inhibitor.